prepared using a general chemical synthetic route based on a one step 1,3-cycloaddition reaction, as depicted in Scheme 2.

Scheme 2

R
R
C1
R
OH
R

Et3N
benzene, rt 2 days

$$X = Br$$
-, C1-, CH<sub>3</sub>C(=0)O-, H-

[0393] 2-Sulfolene which is non-substituted or substituted at the 4-position (optionally by chlorine, bromine or acetoxy) is reacted with an equimolar amount of an N-hydroxybenzimidoyl chloride, or an analog thereof wherein the phenyl moiety is replaced by a different aromatic moiety

<160> NUMBER OF SEQ ID NOS: 2

(not shown in Scheme 2 for the sake of simplicity), for two days at room temperature in benzene and triethylamine. The reaction is regioselective (99% regioisomer of interest), and the product is purified by crystallization with ethanol. The N-hydroxybenzimidoyl chloride or an analog thereof is optionally one such as used to prepare a compound described in Example 7 or 8 or in Table 2.

[0394] The activity of the obtained compounds is evaluated according to procedures described hereinabove. The effect of substituents at the 4-position of a sulfolane ring on activity, and the interplay between the type of substituents and type of aromatic moiety is determined, so as to identify compounds with enhanced activity.

[0395] Although the invention has been described in conjunction with specific embodiments thereof, it is evident that many alternatives, modifications and variations will be apparent to those skilled in the art. Accordingly, it is intended to embrace all such alternatives, modifications and variations that fall within the spirit and broad scope of the appended claims.

[0396] It is the intent of the applicant(s) that all publications, patents and patent applications referred to in this specification are to be incorporated in their entirety by reference into the specification, as if each individual publication, patent or patent application was specifically and individually noted when referenced that it is to be incorporated herein by reference. In addition, citation or identification of any reference in this application shall not be construed as an admission that such reference is available as prior art to the present invention. To the extent that section headings are used, they should not be construed as necessarily limiting. In addition, any priority document(s) of this application is/are hereby incorporated herein by reference in its/their entirety.

SEQUENCE LISTING

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Asp Leu
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